WHAT IS CLAIMED IS:

1. A compound of the formula:

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R¹ and R² are each members independently selected from the group consisting of hydrogen, (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl, heteroaryl, aryl(C₁-C₈)alkyl, aryl(C₁-C₈)heteroalkyl, heteroaryl(C₁-C₈)alkyl, and heteroaryl(C₁-C₈)heteroalkyl, with the proviso that at least one of R¹ and R² is selected from the group consisting of aryl, heteroaryl, aryl(C₁-C₈)alkyl, aryl(C₁-C₈)heteroalkyl, heteroaryl(C₁-C₈)alkyl and heteroaryl(C₁-C₈)heteroalkyl;

 A^1 is a member selected from the group consisting of L- α -amino acid fragments, D- α -amino acid fragments and fragments having the formula:

wherein

 R^3 is selected from the group consisting of hydrogen and $(C_1\text{-}C_4)$ alkyl; R^4 and R^5 are each members independently selected from the group consisting of hydrogen, $(C_1\text{-}C_8)$ alkyl and $(C_1\text{-}C_8)$ heteroalkyl, or can be individually combined with R^3 to form a 5-, 6-, 7- or 8-membered ring containing from one to three heteroatoms;

 A^2 is a member selected from the group consisting of L- α -amino acid fragments, D- α -amino acid fragments having the formula:

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22 wherein

23 R⁶ is selected from the group consisting of hydrogen and (C₁-C₄)alkyl; 24 R⁷ and R⁸ are each members independently selected from the group

consisting of hydrogen, (C_1-C_8) alkyl and (C_1-C_8) heteroalkyl, or can be 25 combined with each other to form a 5-, 6-, 7- or 8-membered ring containing from zero to 26 27 three heteroatoms; 28 X is a member selected from the group consisting of a bond, a (C_1-C_4) 29 saturated or unsaturated alkylene linking group and a (C₁-C₄) saturated or unsaturated 30 heteroalkylene linking group; 31 D_a, D_b and D_c are each independently selected from the group consisting of =N- and $=C(R^9)-$ 32 33 wherein each R⁹ is independently selected from the group consisting of hydrogen, 34 35 halogen, cyano, nitro, (C_1-C_6) alkyl, (C_1-C_6) heteroalkyl, (C_1-C_6) alkoxy, (C_1-C_6) thioalkoxy, $-NR^{10}R^{11}$, $-C(O)OR^{10}$, $-C(O)NR^{10}R^{11}$, $-O-C(O)OR^{10}$, $-NR^{11}$ - $-C(O)OR^{10}$, $-NR^{10}$ - $-NR^{10$ 36 37 NR^{10} -C(O) R^{11} , -SO₂ $NR^{10}R^{11}$, and -OC(O) $NR^{10}R^{11}$; 38 39 40 41 wherein each R¹⁰ and R¹¹ are each independently a member selected from the group consisting of hydrogen, (C_1-C_8) alkyl and (C_1-C_8) heteroalkyl, or when attached to the same nitrogen atom can be combined with each other to form a 5-, 6-, 7- or 8-membered ring <u>4</u>2 containing from zero to three heteroatoms; and 43 44 each R¹² is independently a member selected from the group consisting of (C₁- C_8)alkyl, (C_1-C_8) heteroalkyl, aryl and heteroaryl; ___45 U and Z are each independently selected from the group consisting of a single bond, -CH₂-, -CH(OH)-, -C(O)-, -CH₂O-, -CH₂CH₂-, -CH₂C(O)-, -O-, -S-, -S-CH₂-, -N(C(O)-46 (C_1-C_9) alkyl)-, -N(R¹³)- and -N(R¹³)-CH₂-; 47 48 wherein each R¹³ is a member selected from the group consisting of hydrogen, (C₁-49 C_8)alkyl, aryl and (C_1-C_8) heteroalkyl; 50 Y¹ and Y² are each independently selected from the group consisting of – 51 CO₂H and -CO₂R¹⁴; and 52 R¹⁴ is a member selected from the group consisting of (C₁-C₉)alkyl, and (C₁-53 C₉)heteroalkyl, or, alternatively, when Y¹ and Y² are each -CO₂R¹⁴, each R¹⁴ and the oxygen 54 55 to which it is attached, join to form a 5-, 6-, 7- or 8-membered heterocyclic ring.

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The compound of claim 1, wherein D_a , D_b and D_c are each =CH-.

- The compound of claim 1, wherein A¹ is selected from the group 1 4. 2 consisting of L-α-amino acid fragments.
- The compound of claim 1, wherein A² is selected from the group 1 5. 2 consisting of L-α-amino acid fragments.
- The compound of claim 1, wherein A¹ and A² are each independently 1 6. 2 selected from the group consisting of L- α -amino acid fragments.
- The compound of claim 1, wherein A¹ and A² are each independently 7. 1 selected from the group consisting of L- α -amino acid fragments; X is a (C_2 - C_4) unsaturated alkylene linking group; and D_a , D_b and D_c are each =CH-.

<u>___</u>

- 8. The compound of claim 1, wherein U is selected from the group consisting of $-CH_2$ - and -CH(OH)-.
- 9. The compound of claim 1, wherein Z is selected from the group consisting of -CH₂-, -O-, -NH- and -S-.
- 10. The compound of claim 1, wherein U is selected from the group consisting of -CH₂- and -CH(OH)-; and Z is selected from the group consisting of -CH₂-, -O-2 3 , -NH- and -S-.
- 1 The compound of claim 1, wherein A¹ and A² are each independently 11. 2 selected from the group consisting of a natural or unnatural L-α-amino acid fragments; X is a 3 (C₂-C₄) unsaturated alkylene linking group; D₂, D_b and D_c are each =CH-; U is selected from 4 the group consisting of -CH₂- and -CH(OH)-; and Z is selected from the group consisting of 5 -CH₂-, -O-, -NH- and -S-.
- 1 12. The compound of claim 11, wherein X is an unsaturated alkylene moiety selected from the group consisting of -C(CH₃)=CH and -CH=C(CH₃). 2
- The compound of claim 1, wherein R¹ and R² are each members 1 13. 2 independently selected from the group consisting of (C_1-C_8) alkyl, aryl and aryl (C_1-C_8) alkyl.

- 1 14. The compound of claim 11, wherein R¹ and R² are each members 2 independently selected from the group consisting of (C₁-C₈)alkyl, aryl and aryl(C₁-C₈)alkyl.
- 1 The compound of claim 1, wherein R¹ is an optionally substituted 2 phenyl group.
- 1 16. The compound of claim 1, wherein R¹ is an optionally substituted 2 phenyl group and R² is an optionally substituted benzyl group.
- 1 The compound of claim 11, wherein R¹ is an optionally substituted 2 phenyl group.
 - 18. The compound of claim 11, wherein R^1 is an optionally substituted phenyl group and R^2 is an optionally substituted benzyl group.
 - 19. The compound of claim 1, wherein R¹ is an optionally substituted (C₁-C₈)alkyl or (C₁-C₈)heteroalkyl group and R² is an optionally substituted phenyl or benzyl group.
 - 20. The compound of claim 1, wherein R¹ is a phenyl group substituted with up to two members selected from the group consisting of –NHCONH₂, –C(NH)NH₂, -CONH₂, -CH₂NHCO-(4-nitro-2-pyrazolyl), -CONHPh, -CH₂NH₂, -CH₂NHCO-CH=CH-(3-nitrophenyl), -CH₃, -Cl, -Br, -I, -CO₂H, -CO₂CH₃, -OCH₃, -OH, -Ph, -OPh, -CON(CH₃)₂, -C(CH₃)₃, -CH₂NHAC, -CN and -CH₂NHCO-CH=CH-(4-pyridyl).
- The compound of claim 11, wherein R¹ is an optionally substituted (C₁-C₈)alkyl or (C₁-C₈)heteroalkyl group and R² is an optionally substituted phenyl or benzyl group.
- The compound of claim 11, wherein R¹ is a phenyl group substituted with up to two members selected from the group consisting of –NHCONH₂, –C(NH)NH₂, -CONH₂, -CH₂NHCO-(4-nitro-2-pyrazolyl), -CONHPh, -CH₂NH₂, -CH₂NHCO-CH=CH-(3-nitrophenyl), -CH₃, -Cl, -Br, -I, -CO₂H, -CO₂CH₃, -OCH₃, -OH, -Ph, -OPh, -CON(CH₃)₂, -C(CH₃)₃, -CH₂NHAc, -CN and -CH₂NHCO-CH=CH-(4-pyridyl).
- 1 23. The compound of claim 11, wherein Z is -O-; R¹ is a member selected 2 from the group consisting of an optionally substituted phenyl group or an optionally

- 3 substituted heteroaryl; and R² is a member selected from the group consisting of (C₁-C₈)alkyl,
- 4 (C_1-C_8) heteroalkyl, aryl (C_1-C_8) alkyl, aryl (C_1-C_8) heteroalkyl, heteroaryl (C_1-C_8) alkyl and
- 5 heteroaryl(C_1 - C_8)heteroalkyl.

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- 24. The compound of claim 4, wherein A¹ is an L-α-amino acid fragment
 derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-proline.
- 1 **25**. The compound of claim 5, wherein A² is an L-α-amino acid fragment derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine, L-threonine and L-tert-butylglycine.
 - 26. The compound of claim 11, wherein A^1 is an L- α -amino acid fragment derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-proline; and A^2 is an L- α -amino acid fragment derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine, L-threonine and L-tert-butylglycine.
 - 27. The compound of claim 26, wherein R^1 and R^2 are each members independently selected from the group consisting of substituted or unsubstituted (C_1 - C_8)alkyl, substituted or unsubstituted aryl and substituted or unsubstituted aryl(C_1 - C_8)alkyl.
 - 28. The compound of claim 27, wherein A^1 is an L- α -amino acid fragment derived from L-alanine or L-proline; and A^2 is an L- α -amino acid fragment derived from L-valine, L-leucine, L-isoluecine, or L-tert-butylglycine.
- 29. The compound of claim 27, wherein A¹ is an L-α-amino acid fragment
 derived from L-proline; and A² is an L-α-amino acid fragment derived from L-tert butylglycine.
 - 30. The compound of claim 1, having the formula:

$$V_{1}^{1}$$
 V_{2}^{1} V_{2}^{1} V_{3}^{1} V_{4}^{1} V_{1}^{1} V_{2}^{1} V_{3}^{1} V_{4}^{1} V_{4}^{1} V_{4}^{1} V_{5}^{1} V_{7}^{1} V_{7

3 wherein

W¹ is a member selected from the group consisting of -H, -OR¹⁵ and 4 $-NR^{15}R^{16}$; 5 W² and W³ are each members independently selected from the group 6 consisting of hydrogen, halogen, -R¹⁷, -CO₂R¹⁷, -OR¹⁷, -NR¹⁷R¹⁸ and -CONR¹⁷R¹⁸; 7 wherein R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are each members independently selected from 8 the group consisting of hydrogen, aryl, (C_1-C_8) alkyl, (C_1-C_8) heteroalkyl, aryl (C_1-C_8) alkyl, 9 10 $aryl(C_1-C_8)$ heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl; 11 U and Z are each members independently selected from the group consisting of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(\mathbb{R}^{13})-. 12

31. The compound of claim 1, having the formula:

wherein

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 R^2 is a member selected from the group consisting of substituted or unsubstituted (C₁-C₈)alkyl;

 W^1 is a member selected from the group consisting of -H, -OR 15 and -NR 15 R 16 :

W² is a member selected from the group consisting of hydrogen, halogen,

 $-R^{17}$, $-CO_2R^{17}$, $-OR^{17}$, $-NR^{17}R^{18}$ and $-CONR^{17}R^{18}$;

wherein R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are each members independently selected from

the group consisting of hydrogen, aryl, (C_1-C_8) alkyl, (C_1-C_8) heteroalkyl, aryl (C_1-C_8) alkyl,

12 $aryl(C_1-C_8)$ heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

14 of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(\mathbb{R}^{13})-.

32. The compound of claim 1, having the formula:

$$\begin{array}{c|c}
 & W^1 \\
 & W^1 \\
 & W^1 \\
 & W^2 \\
 & W^2 \\
 & W^3 \\
\end{array}$$

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wherein

W¹ is a member selected from the group consisting of –H, –OR¹⁵ and

5 $-NR^{15}R^{16}$;

 W^2 and W^3 are each members independently selected from the group consisting of hydrogen, halogen, $-R^{17}$, $-CO_2R^{17}$, $-OR^{17}$, $-NR^{17}R^{18}$ and $-CONR^{17}R^{18}$;

wherein R^{15} , R^{16} , R^{17} and R^{18} are each members independently selected from the group consisting of hydrogen, aryl, (C_1-C_8) alkyl, (C_1-C_8) heteroalkyl, aryl (C_1-C_8) heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

U and Z are each members independently selected from the group consisting of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(\mathbb{R}^{13})-.

33. A pharmaceutical composition comprising a pharmaceutically acceptable excipient and a compound having the formula:

$$Y^{1} \underbrace{V}_{Z} \underbrace{D_{c} X}_{D_{b}} \underbrace{X}_{O} \underbrace{A^{2}_{A^{1}} N \cdot R^{2}}_{A^{2}}$$

4 wherein

 R^1 and R^2 are each members independently selected from the group consisting

of hydrogen, (C_1-C_8) alkyl, (C_1-C_8) heteroalkyl, aryl, heteroaryl, aryl (C_1-C_8) alkyl, aryl, aryl

7 C_8)heteroalkyl, heteroaryl(C_1 - C_8)alkyl, and heteroaryl(C_1 - C_8)heteroalkyl, with the proviso

that at least one of R¹ and R² is selected from the group consisting of aryl, heteroaryl,

9 $aryl(C_1-C_8)alkyl$, $aryl(C_1-C_8)heteroalkyl$, $heteroaryl(C_1-C_8)alkyl$ and $heteroaryl(C_1-C_8)alkyl$

10 C₈)heteroalkyl;

 A^1 is a member selected from the group consisting of L- α -amino acid

12 fragments, D- α -amino acid fragments and fragments having the formula:

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wherein

 R^3 is selected from the group consisting of hydrogen and (C_1-C_4) alkyl; . 15 R⁴ and R⁵ are each members independently selected from the group 16 consisting of hydrogen, (C₁-C₈)alkyl and (C₁-C₈)heteroalkyl, or can be 17 individually combined with R³ to form a 5-, 6-, 7- or 8-membered ring containing from one to 18 19 three heteroatoms; 20

 A^2 is a member selected from the group consisting of L- α -amino acid fragments, $D-\alpha$ -amino acid fragments and fragments having the formula:

R7 R8

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 R^6 is selected from the group consisting of hydrogen and (C_1-C_4) alkyl; R⁷ and R⁸ are each members independently selected from the group consisting of hydrogen, (C_1-C_8) alkyl and (C_1-C_8) heteroalkyl, or can be combined with each other to form a 5-, 6-, 7- or 8-membered ring containing from zero to three heteroatoms;

X is a member selected from the group consisting of a bond, a (C_1-C_4) saturated or unsaturated alkylene linking group and a (C₁-C₄) saturated or unsaturated heteroalkylene linking group;

D_a, D_b and D_c are each independently selected from the group consisting of =N- and $=C(R^9)-$

wherein

each R⁹ is independently selected from the group consisting of hydrogen, halogen, cyano, nitro, (C₁-C₆)alkyl, (C₁-C₆)heteroalkyl, (C₁-C₆)alkoxy, (C₁-C₆)thioalkoxy, - $NR^{10}R^{11}$, $-C(O)OR^{10}$, $-C(O)NR^{10}R^{11}$, $-O-C(O)OR^{10}$, $-NR^{11}$ - $-C(O)OR^{10}$, $-NR^{10}$ - $-SO_2R^{12}$, $-NR^{10}$ - $C(O)R^{11}$, $-SO_2NR^{10}R^{11}$, and $-OC(O)NR^{10}R^{11}$; wherein

each R¹⁰ and R¹¹ are each independently a member selected from the group consisting of hydrogen, (C₁-C₈)alkyl and (C₁-C₈)heteroalkyl, or when attached to the same nitrogen atom can be combined with each other to form a 5-, 6-, 7- or 8-membered ring containing from zero to three heteroatoms; and

each R¹² is independently a member selected from the group consisting of (C₁- C_8)alkyl, (C_1-C_8) heteroalkyl, aryl and heteroaryl;

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- U and Z are each independently selected from the group consisting of a single
- 47 bond, -CH₂-, -CH(OH)-, -C(O)-, -CH₂O-, -CH₂CH₂-, -CH₂C(O)-, -O-, -S-, -S-CH₂-,
- 48 -N(C(O)-(C_1 - C_9)alkyl)-, -N(R^{13})- and -N(R^{13})-CH₂-;
- 49 wherein
- R¹³ is a member selected from the group consisting of H, (C_1-C_8) alkyl, aryl
- 51 and (C_1-C_8) heteroalkyl;
- Y^1 and Y^2 are each independently selected from the group consisting of –
- 53 CO_2H and $-CO_2R^{14}$
 - 4 wherein
- R¹⁴ is a member selected from the group consisting of (C_1-C_9) alkyl,
- 56 (C_1-C_9) heteroalkyl, or, alternatively, when Y^1 and Y^2 are each $-CO_2R^{14}$, each R^{14} and the
- oxygen to which it is attached, join to form a 5-, 6-, 7-, or 8-membered heterocyclic ring.
 - 34. The pharmaceutical composition of claim 33, wherein D_a , D_b and D_c
- 2 are each =CH-.
 - 35. The pharmaceutical composition of claim 33, wherein X is a (C₂-C₄)
 - 2 unsaturated alkylene linking group.
 - 36. The pharmaceutical composition of claim 33, wherein A¹ is selected
 - from the group consisting of L- α -amino acid fragments.
- 1 37. The pharmaceutical composition of claim 33, wherein A² is selected
- 2 from the group consisting of L- α -amino acid fragments.
- 1 38. The pharmaceutical composition of claim 33, wherein A^1 and A^2 are
- 2 each independently selected from the group consisting of L-α-amino acid fragments.
- 1 39. The pharmaceutical composition of claim 33, wherein A^1 and A^2 are
- 2 each independently selected from the group consisting of L-α-amino acid fragments; X is a
- 3 (C_2 - C_4) unsaturated alkylene linking group; and D_a , D_b and D_c are each =CH-.
- 1 40. The pharmaceutical composition of claim 33, wherein U is selected
- 2 from the group consisting of -CH₂- and -CH(OH)-.
- 1 41. The pharmaceutical composition of claim 33, wherein Z is selected
- 2 from the group consisting of -CH₂-, -O-, -NH- and -S-.

- 1 42. The pharmaceutical composition of claim 33, wherein U is selected 2 from the group consisting of -CH₂- and -CH(OH)-; and Z is selected from the group 3 consisting of -CH₂-, -O-, -NH- and -S-.
- 43. The pharmaceutical composition of claim 33, wherein A¹ and A² are each independently selected from the group consisting of a natural or unnatural L-α-amino acid fragments; X is a (C₂-C₄) unsaturated alkylene linking group; D_a, D_b and D_c are each =CH-; U is selected from the group consisting of -CH₂- and -CH(OH)-; and Z is selected from the group consisting of -CH₂-, -O-, -NH- and -S-.
- 1 44. The pharmaceutical composition of claim 43, wherein X is an 2 unsaturated alkylene moiety selected from the group consisting of -C(CH₃)=CH and -3 CH=C(CH₃).
 - 45. The pharmaceutical composition of claim 33, wherein R^1 and R^2 are each members independently selected from the group consisting of (C_1-C_8) alkyl, aryl and aryl (C_1-C_8) alkyl.
 - 46. The pharmaceutical composition of claim 43, wherein R^1 and R^2 are each members independently selected from the group consisting of (C_1-C_8) alkyl, aryl and aryl (C_1-C_8) alkyl.
 - 47. The pharmaceutical composition of claim 33, wherein R¹ is an optionally substituted phenyl group.
- 1 48. The pharmaceutical composition of claim 33, wherein R¹ is an optionally substituted phenyl group and R² is an optionally substituted benzyl group.
- 1 49. The pharmaceutical composition of claim 43, wherein R¹ is an optionally substituted phenyl group.
- The pharmaceutical composition of claim 43, wherein R¹ is an optionally substituted phenyl group and R² is an optionally substituted benzyl group.
- The pharmaceutical composition of claim 33, wherein R¹ is an optionally substituted (C₁-C₈)alkyl or (C₁-C₈)heteroalkyl group and R² is an optionally substituted phenyl or benzyl group.

- The pharmaceutical composition of claim 33, wherein R¹ is a phenyl
- 2 group substituted with up to two members selected from the group consisting of -NHCONH₂,
- 3 –C(NH)NH₂, -CONH₂, -CH₂NHCO-(4-nitro-2-pyrazolyl), -CONHPh, -CH₂NH₂, -
- 4 CH₂NHCO-CH=CH-(3-nitrophenyl), -CH₃, -Cl, -Br, -I, -CO₂H, -CO₂CH₃, -OCH₃, -OH, -Ph,
- 5 -OPh, -CON(CH₃)₂, -C(CH₃)₃, -CH₂NHAc, -CN and -CH₂NHCO-CH=CH-(4-pyridyl).
- The pharmaceutical composition of claim 43, wherein R^1 is an
- optionally substituted (C_1-C_8) alkyl or (C_1-C_8) heteroalkyl group and \mathbb{R}^2 is an optionally
- 3 substituted phenyl or benzyl group.
- The pharmaceutical composition of claim 43, wherein R^1 is a phenyl
- 2 group substituted with up to two members selected from the group consisting of -NHCONH₂,
- C(NH)NH₂, -CONH₂, -CH₂NHCO-(4-nitro-2-pyrazolyl), -CONHPh, -CH₂NH₂, -
- 4 CH₂NHCO-CH=CH-(3-nitrophenyl), -CH₃, -Cl, -Br, -I, -CO₂H, -CO₂CH₃, -OCH₃, -OH, -Ph,
- 5 -OPh, -CON(CH₃)₂, -C(CH₃)₃, -CH₂NHAc, -CN and -CH₂NHCO-CH=CH-(4-pyridyl).
 - 55. The pharmaceutical composition of claim 43, wherein Z is -O-; R^1 is a
 - member selected from the group consisting of an optionally substituted phenyl group or an
- 3 optionally substituted heteroaryl; and R² is a member selected from the group consisting of
- 4 (C_1-C_8) alkyl, (C_1-C_8) heteroalkyl, aryl (C_1-C_8) alkyl, aryl (C_1-C_8) heteroalkyl, heteroaryl (C_1-C_8)
- 5 C_8)alkyl and heteroaryl(C_1 - C_8)heteroalkyl.
- 1 56. The pharmaceutical composition of claim 36, wherein A^1 is an L- α -
- 2 amino acid fragment derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-
- 3 proline.
- 1 57. The pharmaceutical composition of claim 37, wherein A^2 is an L- α -
- 2 amino acid fragment derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine,
- 3 L-threonine and L-tert-butylglycine.
- 1 58. The pharmaceutical composition of claim 43, wherein A^1 is an L- α -
- 2 amino acid fragment derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-
- 3 proline; and A² is an L-α-amino acid fragment derived from L-valine, L-leucine, L-
- 4 methionine, L-lysine, L-isoluecine, L-threonine and L-tert-butylglycine.

- The pharmaceutical composition of claim 58, wherein R¹ and R² are 1 **59**. each members independently selected from the group consisting of substituted or 2 unsubstituted (C₁-C₈)alkyl, substituted or unsubstituted aryl and substituted or unsubstituted 3 4 $aryl(C_1-C_8)alkyl.$
- The pharmaceutical composition of claim 59, wherein A^1 is an L- α -1 60. amino acid fragment derived from L-alanine or L-proline; and A² is an L-α-amino acid 2 fragment derived from L-valine, L-leucine, L-isoluecine, or L-tert-butylglycine. 3
- The pharmaceutical composition of claim 59, wherein A¹ is an L-α-1 61. amino acid fragment derived from L-proline; and A² is an L-α-amino acid fragment derived 2 from L-tert-butylglycine. 3
 - The pharmaceutical composition of claim 33, said compound having **62**. the formula:

$$Y^1$$
 Y^2
 Z
 W^1
 W^1
 W^1
 W^1
 W^1
 W^2
 W^3

wherein

W¹ is a member selected from the group consisting of -H, -OR¹⁵ and 5

 $-NR^{15}R^{16}$; 6

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W² and W³ are each members independently selected from the group 7 consisting of hydrogen, halogen, -R¹⁷, -CO₂R¹⁷, -OR¹⁷, -NR¹⁷R¹⁸ and -CONR¹⁷R¹⁸; 8

wherein R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are each members independently selected from the group consisting of hydrogen, aryl, (C_1-C_8) alkyl, (C_1-C_8) heteroalkyl, aryl (C_1-C_8) alkyl, aryl(C₁-C₈)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

12 U and Z are each members independently selected from the group consisting of $-CH_2$ -, -CH(OH)-, -C(O)-, -O-, -S- and $-N(R^{13})$ -.

1 63. The pharmaceutical composition of claim 33, said compound having 2 the formula:

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wherein

R² is a member selected from the group consisting of substituted or 5 unsubstituted (C₁-C₈)alkyl; 6

W1 is a member selected from the group consisting of -H, -OR15 and

 $-NR^{15}R^{16}$: 8

W² is a member selected from the group consisting of hydrogen, halogen, 9

 $-R^{17}$, $-CO_2R^{17}$, $-OR^{17}$, $-NR^{17}R^{18}$ and $-CONR^{17}R^{18}$; 10 11 12 13

wherein R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are each members independently selected from the group consisting of hydrogen, aryl, (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl(C₁-C₈)alkyl, aryl(C₁-C₈)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

U and Z are each members independently selected from the group consisting of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(R¹³)-.

The pharmaceutical composition of claim 33, said compound having 64. the formula:

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wherein

W¹ is a member selected from the group consisting of -H, -OR¹⁵ and 5

 $-NR^{15}R^{16}$; 6

W² and W³ are each members independently selected from the group 7 consisting of hydrogen, halogen, -R¹⁷, -CO₂R¹⁷, -OR¹⁷, -NR¹⁷R¹⁸ and -CONR¹⁷R¹⁸; 8

wherein R^{15} , R^{16} , R^{17} and R^{18} are each members independently selected from the group consisting of hydrogen, aryl, (C_1-C_8) alkyl, (C_1-C_8) heteroalkyl, aryl (C_1-C_8) heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

U and Z are each members independently selected from the group consisting of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(\mathbb{R}^{13})-.

65. A method for modulating a STAT6-dependent condition in a host, comprising administering to said host a STAT6-modulating amount of a compound of the formula:

$$Y^1 \underbrace{ U }_{Y^2} \underbrace{ D_c X }_{D_b} X \underbrace{ A^2 A^1 \overset{R^1}{N}_R^2}$$

wherein

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= 13

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 R^1 and R^2 are each members independently selected from the group consisting of hydrogen, $(C_1\text{-}C_8)$ alkyl, $(C_1\text{-}C_8)$ heteroalkyl, aryl, heteroaryl, aryl $(C_1\text{-}C_8)$ alkyl, aryl $(C_1\text{-}C_8)$ heteroalkyl, heteroaryl $(C_1\text{-}C_8)$ alkyl, and heteroaryl $(C_1\text{-}C_8)$ heteroalkyl, with the proviso that at least one of R^1 and R^2 is selected from the group consisting of aryl, heteroaryl, aryl $(C_1\text{-}C_8)$ alkyl, aryl $(C_1\text{-}C_8)$ heteroalkyl, heteroaryl $(C_1\text{-}C_8)$ alkyl and heteroaryl $(C_1\text{-}C_8)$ heteroalkyl;

 A^{1} is a member selected from the group consisting of L- α -amino acid fragments, D- α -amino acid fragments having the formula:

15 wherein

R³ is selected from the group consisting of hydrogen and (C₁-C₄) alkyl;
R⁴ and R⁵ are each members independently selected from the group
consisting of hydrogen, (C₁-C₈)alkyl and (C₁-C₈)heteroalkyl, or can be
individually combined with R³ to form a 5-, 6-, 7- or 8-membered ring containing from one to
three heteroatoms;

 A^2 is a member selected from the group consisting of L- α -amino acid fragments, D- α -amino acid fragments having the formula:

24 wherein R^6 is selected from the group consisting of hydrogen and (C_1-C_4) alkyl; 25 R⁷ and R⁸ are each members independently selected from the group 26 consisting of hydrogen, (C₁-C₈)alkyl and (C₁-C₈)heteroalkyl, or can be 27 combined with each other to form a 5-, 6-, 7- or 8-membered ring containing from zero to 28 29 three heteroatoms; 30 X is a member selected from the group consisting of a bond, a (C_1-C_4) saturated or unsaturated alkylene linking group and a (C₁-C₄) saturated or unsaturated 31 32 33 34 35 36 heteroalkylene linking group; Da, Db and Dc are each independently selected from the group consisting of =N- and $=C(R^9)$ wherein each R⁹ is independently selected from the group consisting of hydrogen, # 37 halogen, cyano, nitro, (C₁-C₆)alkyl, (C₁-C₆)heteroalkyl, (C₁-C₆)alkoxy, (C₁-C₆)thioalkoxy, -38 39 $NR^{10}R^{11}$, $-C(O)OR^{10}$, $-C(O)NR^{10}R^{11}$, $-O-C(O)OR^{10}$, $-NR^{11}-C(O)OR^{10}$, $-NR^{10}-SO_2R^{12}$, $-NR^{10}-SO_2R^$ $C(O)R^{11}$, $-SO_2NR^{10}R^{11}$, and $-OC(O)NR^{10}R^{11}$; 40 wherein each R¹⁰ and R¹¹ are each independently a member selected from the group 41 42 consisting of hydrogen, (C₁-C₈)alkyl and (C₁-C₈)heteroalkyl, or when attached to the same nitrogen atom can be combined with each other to form a 5-, 6-, 7- or 8-membered ring 43 containing from zero to three heteroatoms; and 44 each R¹² is independently a member selected from the group consisting of (C₁-45 C_8)alkyl, (C_1-C_8) heteroalkyl, aryl and heteroaryl; 46 47 U and Z are each independently selected from the group consisting of a single bond, -CH₂-, -CH(OH)-, -C(O)-, -CH₂O-, -CH₂CH₂-, -CH₂C(O)-, -O-, -S-, -S-CH₂-, -N(C(O)-48 (C_1-C_9) alkyl)-, -N(R¹³)- and -N(R¹³)-CH₂-; 49 50 wherein each R¹³ is a member selected from the group consisting of hydrogen, (C₁-51 C_8)alkyl, aryl and (C_1-C_8) heteroalkyl; 52

53	Y ¹ and	d Y ² a	are each	independently	selected	from the	e group	consisting	of-

- 54 CO_2H and $-CO_2R^{14}$; and
- R^{14} is a member selected from the group consisting of (C_1-C_9) alkyl, and (C_1-C_9)
- C_9)heteroalkyl, or, alternatively, when Y^1 and Y^2 are each $-CO_2R^{14}$, each R^{14} and the oxygen
- 57 to which it is attached, join to form a 5-, 6-, 7- or 8-membered heterocyclic ring.
- 1 66. The method of claim 65, wherein D_a , D_b and D_c are each =CH-.
- The method of claim 65, wherein X is a (C_2-C_4) unsaturated alkylene
- 2 linking group.
- 1 68. The method of claim 65, wherein A¹ is selected from the group
- 2 consisting of L- α -amino acid fragments.
 - 69. The method of claim 65, wherein A^2 is selected from the group consisting of L- α -amino acid fragments.
 - 70. The method of claim 65, wherein A^1 and A^2 are each independently selected from the group consisting of L- α -amino acid fragments.
 - 71. The method of claim 65, wherein A^1 and A^2 are each independently selected from the group consisting of L- α -amino acid fragments; X is a (C₂-C₄) unsaturated alkylene linking group; and D_a , D_b and D_c are each =CH-.
- The method of claim 65, wherein U is selected from the group consisting of -CH₂- and -CH(OH)-.
- The method of claim 65, wherein Z is selected from the group consisting of -CH₂-, -O-, -NH- and -S-.
- The method of claim 65, wherein U is selected from the group consisting of -CH₂- and -CH(OH)-; and Z is selected from the group consisting of -CH₂-, -O-, -NH- and -S-.
- 75. The method of claim 65, wherein A¹ and A² are each independently
 selected from the group consisting of a natural or unnatural L-α-amino acid fragments; X is a
 (C₂-C₄) unsaturated alkylene linking group; D_a, D_b and D_c are each =CH-; U is selected from

- 4 the group consisting of -CH₂- and -CH(OH)-; and Z is selected from the group consisting of
- 5 -CH₂-, -O-, -NH- and -S-.
- The method of claim 75, wherein X is an unsaturated alkylene moiety
- 2 selected from the group consisting of $-C(CH_3)=CH$ and $-CH=C(CH_3)$.
- The method of claim 65, wherein R^1 and R^2 are each members
- independently selected from the group consisting of (C_1-C_8) alkyl, aryl and aryl (C_1-C_8) alkyl.
- The method of claim 75, wherein R^1 and R^2 are each members
- independently selected from the group consisting of (C_1-C_8) alkyl, aryl and aryl (C_1-C_8) alkyl.
- The method of claim 65, wherein R¹ is an optionally substituted phenyl group.
 - 80. The method of claim 65, wherein R^1 is an optionally substituted phenyl group and R^2 is an optionally substituted benzyl group.
 - 81. The method of claim 75, wherein R¹ is an optionally substituted phenyl group.
 - 82. The method of claim 75, wherein R^1 is an optionally substituted phenyl group and R^2 is an optionally substituted benzyl group.
- 1 83. The method of claim 65, wherein \mathbb{R}^1 is an optionally substituted (\mathbb{C}_1 -
- 2 C_8)alkyl or $(C_1$ - C_8)heteroalkyl group and R^2 is an optionally substituted phenyl or benzyl
- 3 group.
- 1 84. The method of claim 65, wherein R¹ is a phenyl group substituted with
- 2 up to two members selected from the group consisting of -NHCONH₂, -C(NH)NH₂, -
- 3 CONH₂, -CH₂NHCO-(4-nitro-2-pyrazolyl), -CONHPh, -CH₂NH₂, -CH₂NHCO-CH=CH-(3-
- 4 nitrophenyl), -CH₃, -Cl, -Br, -I, -CO₂H, -CO₂CH₃, -OCH₃, -OH, -Ph, -OPh, -CON(CH₃)₂, -
- 5 C(CH₃)₃, -CH₂NHAc, -CN and -CH₂NHCO-CH=CH-(4-pyridyl).
- 1 85. The method of claim 75, wherein R^1 is an optionally substituted (C_1 -
- 2 C_8)alkyl or (C_1-C_8) heteroalkyl group and R^2 is an optionally substituted phenyl or benzyl
- 3 group.

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- The method of claim 75, wherein R¹ is a phenyl group substituted with 86. 1
- 2 up to two members selected from the group consisting of -NHCONH₂, -C(NH)NH₂, -
- 3 CONH₂, -CH₂NHCO-(4-nitro-2-pyrazolyl), -CONHPh, -CH₂NH₂, -CH₂NHCO-CH=CH-(3-
- nitrophenyl), -CH₃, -Cl, -Br, -I, -CO₂H, -CO₂CH₃, -OCH₃, -OH, -Ph, -OPh, -CON(CH₃)₂, -4
- C(CH₃)₃, -CH₂NHAc, -CN and -CH₂NHCO-CH=CH-(4-pyridyl). 5
- The method of claim 75, wherein Z is -O-; R¹ is a member selected. 1 87.
- from the group consisting of an optionally substituted phenyl group or an optionally 2
- substituted heteroaryl; and R^2 is a member selected from the group consisting of (C_1-C_8) alkyl, 3
- (C_1-C_8) heteroalkyl, aryl (C_1-C_8) alkyl, aryl (C_1-C_8) heteroalkyl, heteroaryl (C_1-C_8) alkyl and 4
- 5 heteroaryl(C_1 - C_8)heteroalkyl.
 - The method of claim 68, wherein A^1 is an L- α -amino acid fragment 88. derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-proline.
 - The method of claim 69, wherein A^2 is an L- α -amino acid fragment 89. derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine, L-threonine and Ltert-butylglycine.
 - The method of claim 75, wherein A^1 is an L- α -amino acid fragment 90. derived from L-tyrosine, L-serine, L-methionine, L-alanine and L-proline; and A² is an L-αamino acid fragment derived from L-valine, L-leucine, L-methionine, L-lysine, L-isoluecine, L-threonine and L-tert-butylglycine.
- The method of claim 90, wherein R¹ and R² are each members 1 91. 2 independently selected from the group consisting of substituted or unsubstituted (C₁-C₈)alkyl, 3 substituted or unsubstituted aryl and substituted or unsubstituted aryl(C₁-C₈)alkyl.
- The method of claim 91, wherein A^1 is an L- α -amino acid fragment 1 92. derived from L-alanine or L-proline; and A² is an L-α-amino acid fragment derived from L-2 3 valine, L-leucine, L-isoluecine, or L-tert-butylglycine.
- The method of claim 91, wherein A^1 is an L- α -amino acid fragment 1 93. derived from L-proline; and A² is an L-α-amino acid fragment derived from L-tert-2
- 3 butylglycine.

94. The method of claim 65, wherein said compound has the formula:

$$Y^1$$
 Y^2
 Z
 W^1
 W^1
 W^1
 W^1
 W^1
 W^2
 W^3

2

1

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4 $-NR^{15}R^{16}$;

5 6

7

2

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4 5

6

8

 $-NR^{15}R^{16}$; 7

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wherein

W² and W³ are each members independently selected from the group consisting of hydrogen, halogen, -R¹⁷, -CO₂R¹⁷, -OR¹⁷, -NR¹⁷R¹⁸ and -CONR¹⁷R¹⁸;

wherein R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are each members independently selected from

W¹ is a member selected from the group consisting of -H, -OR¹⁵ and

the group consisting of hydrogen, aryl, (C₁-C₈)alkyl, (C₁-C₈)heteroalkyl, aryl(C₁-C₈)alkyl,

 $aryl(C_1-C_8)$ heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl; U and Z are each members independently selected from the group consisting

of -CH₂-, -CH(OH)-, -C(O)-, -O-, -S- and -N(R¹³)-.

The method of claim 65, wherein said compound has the formula: 95.

$$Y^{1} U \longrightarrow H O O M^{7} H N^{2}$$

$$Y^{2} Z \longrightarrow W^{3}$$

$$W^{1} W R^{2}$$

$$W^{3}$$

R² is a member selected from the group consisting of substituted or

unsubstituted (C₁-C₈)alkyl;

wherein

W¹ is a member selected from the group consisting of -H, -OR¹⁵ and

W² is a member selected from the group consisting of hydrogen, halogen,

 $-R^{17}$, $-CO_2R^{17}$, $-OR^{17}$, $-NR^{17}R^{18}$ and $-CONR^{17}R^{18}$;

wherein R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are each members independently selected from , 10 the group consisting of hydrogen, aryl, (C_1-C_8) alkyl, (C_1-C_8) heteroalkyl, aryl (C_1-C_8) alkyl, 11 12 aryl(C₁-C₈)heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl; 13 U and Z are each members independently selected from the group consisting of $-CH_{2-}$, -CH(OH)-, -C(O)-, -O-, -S- and $-N(R^{13})$ -. 14

> The method of claim 65, wherein said compound has the formula: 96.

wherein

W¹ is a member selected from the group consisting of -H, -OR¹⁵ and $-NR^{15}R^{16}$;

W² and W³ are each members independently selected from the group consisting of hydrogen, halogen, -R¹⁷, -CO₂R¹⁷, -OR¹⁷, -NR¹⁷R¹⁸ and -CONR¹⁷R¹⁸;

wherein R¹⁵, R¹⁶, R¹⁷ and R¹⁸ are each members independently selected from the group consisting of hydrogen, aryl, (C_1-C_8) alkyl, (C_1-C_8) heteroalkyl, aryl (C_1-C_8) alkyl, $aryl(C_1-C_8)$ heteroalkyl, alkylsulfonyl, arylsulfonyl and arylsulfinyl;

U and Z are each members independently selected from the group consisting of $-CH_2$ -, -CH(OH)-, -C(O)-, -O-, -S- and $-N(R^{13})$ -.

- 1 97. A method in accordance with claim 65, wherein said STAT6-
- 2 dependent condition is selected from the group consisting of allergic rhinitis, asthma, atopic
- 3 dermatitis, contact dermatitis, anaphylaxis, food or drug induced allergy, conjunctivitis,
- 4 uveitis, hypersensitivity reactions, alveolitis, psoriasis, Churg-Strauss syndrome, delayed-
- 5 type hypersensitivity, urticaria, angiodema, eczema, scleroderma, and systemic lupus
- 6 erythematosus.

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- 1 98. A method for treating a condition in a host, comprising administering
- 2 to said host an effective amount of a compound of claim 1, wherein said condition is selected
- 3 from the group consisting of allergic rhinitis, asthma, atopic dermatitis, contact dermatitis,
- 4 anaphylaxis, food or drug induced allergy, conjunctivitis, uveitis, hypersensitivity reactions,

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5	alveolitis, psoriasis, Churg-Strauss syndrome, delayed-type hypersensitivity, urticaria,
6	angiodema, eczema, scleroderma, and systemic lupus erythematosus.

- 99. The method in accordance with claim 98, wherein said compound of claim 1 is administered in combination with a second therapeutic agent.
- 1 100. The method in accordance with claim 99, wherein said second 2 therapeutic agent is selected from the group consisting of loratidine, fluticasone propionate, 3 beclametasone diproprionate, budesonide, salmeterol xinafoate, ipratropium bromide, 4 fexofenadine hydrochloride, cetirizine dihydrochloride, triamcinolone acetonide, cromolyn, 5 salbutamol, montelukast sodium, ketotifen hydrogen fumarate, formoterol, zafirlukast, 6 momefasone furoate, azelastine hydrochloride, epinastine, seratrodast, captropril, rampril, 7 zofenopril, colchicine, enalapril, lisinopril, trandolapril, gold sodium thiomalate, 8 calcipotriene, cyclosporine, vinblastine and dapsone.
 - 101. The method in accordance with claim 99, wherein said compound of claim 1 and said second therapeutic agent are administered sequentially.
 - 102. A method in accordance with claim 99, wherein said compound of claim 1 and said second therapeutic agent are administered concurrently.
 - 103. A method in accordance with claim 99, wherein said compound of claim 1 and said second therapeutic agent are each administered at dosages of from 1/100 to 1/2 of their dosages when administered individually.
- 1 104. A method in accordance with claim 99, wherein said compound of claim 1 and said second therapeutic agent are each administered at dosages of from 1/10 to 1/4 of their dosages when administered individually.